## WHAT IS CLAIMED IS:

1. A compound of the formula  $U-V-A-\left(Alk\right)_{,-}-\left(C\left(O\right)-NH\right)_{h}-\left(Alk\right)_{,q}-B$ 

or a pharmaceutically acceptable salt thereof, wherein g, h and j are each independently 0 or 1; provided when h is 0, then g is 0;

each Alk is independently a alkyl radical;

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U represents amidino, guanidino,  $-(G-alkyl)_k-NH-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-R_1$ ,  $-(G-alkyl)_k-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-O-R_1$  or  $-(G-alkyl)_k-O-C(Q)-N(R)-R_1$  radical; or U represents a

hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

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wherein k is 0 or 1;

G represents a bond, O, S or NH;

25 Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

 $R_1$  is a radical of alkyl, haloalkyl,  $R_{21}R_{22}N$ -alkyl,  $R_{21}O$ -alkyl,  $R_{21}S$ -alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each R<sub>2</sub> is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

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V represents a radical of formula

$$W_{7}$$
 $W_{1}$ 
 $W_{1}$ 
 $W_{2}$ 
 $W_{3}$ 
 $W_{4}$ 
 $W_{3}$ 
 $W_{4}$ 
 $W_{3}$ 
 $W_{4}$ 
 $W_{5}$ 
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 $W_{5}$ 
 $W_{4}$ 
 $W_{5}$ 
 $W_{5$ 

$$R_7$$
 $W_8$ 
 $R_3$ 
 $W_7$ 
 $W_9$ 
 $W_{10}$ 
 $W_{10}$ 

5 wherein  $W_1$  is O, S or N-R<sub>3</sub>; wherein each R<sub>3</sub> is independently a hydrogen or alkyl radical;  $W_7$  is N or C-R<sub>7</sub>;  $W_8$  is N or C-R<sub>5</sub>;

 $W_9$  is  $C(R_3)_2$  and  $W_{10}$  is  $W_1$ ; or  $W_9$  is  $CR_3R_5$  and  $W_{10}$  is  $C(R_3)_2$ ;

each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently N or  $C-R_4$ ; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$  and  $-R_{19}$  radicals in  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  is O-2;

each  $W_6$  is independently N or C-H; provided that not more than two of  $W_2$ ,  $W_3$ ,  $W_4$ ,  $W_5$  and  $W_6$  represent N; and

20 each  $R_4$  is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

cyano, carboxy,  $-C(0)-0-R_{19}$ ,  $-C(0)-R_{19}$ ,  $-C(0)-NH-R_{19}$ ,  $-C(0)-N(R_{19})_2$ , cycloalkyl, cycloalkyl-alkyl, aryl, arylalkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl radical, wherein the cycloalkyl,

- aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein
- the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

 $\rm R_{\scriptscriptstyle 5},\ R_{\scriptscriptstyle 6}$  and  $\rm R_{\scriptscriptstyle 7}$  are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy,

- hydroxy or cyano radical; or  $R_{\rm s}$  and  $R_{\rm e}$  or  $R_{\rm e}$  and  $R_{\rm r}$  taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of
- $R_2$ ; or  $R_3$  and  $R_6$  taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of  $R_2$ ;
- 25 A represents a radical of formula

$$R_{8}$$
 $R_{9}$ 
 $R_{10}$ 
 $R_{11}$ 
 $R_{11}$ 
 $R_{10}$ 
 $R_{11}$ 
 $R_{11}$ 
 $R_{10}$ 
 $R_{11}$ 
 $R_{11}$ 
 $R_{11}$ 
 $R_{12}$ 
 $R_{12}$ 
 $R_{13}$ 
 $R_{14}$ 
 $R_{15}$ 
 $R_{$ 

5 wherein X<sub>1</sub> is N or C-H;

X<sub>2</sub> is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally
 substituted by an oxo or thiooxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

$$Y_1$$
 is  $-C(0)-$ ,  $-C(S)-$ ,  $-S(0)-$  or  $-S(0)_2-$ ;

 $Z_1$  is O or N- $R_{12}$ ;

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 $Z_2$  is O, S or N-R<sub>12</sub>;

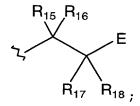
n and m are each independently 0, 1 or 2, provided n + m = 1, 2, 3 or 4;

p and q are each independently 0, 1 or 2, provided p + q = 1, 2 or 3;

r is 1 or 2;

 $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or alkyl radical; or  $-CR_8R_9$ - represents a -C(0)-;

B represents a radical of formula



wherein (a)  $R_{15}$  is a hydrogen or alkyl radical; and  $R_{17}$  is (1) an aryl, heteroaryl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

- wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or
- 25 (b)  $R_{17}$  is a hydrogen or alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(0)- $R_{19}$ , -C(0)-NH- $R_{19}$ , -NH-C(0)-NH- $R_{19}$ , -O-C(0)-NH- $R_{19}$ , -NH-C(0)-O- $R_{19}$ , -S(0)<sub>2</sub>- $R_{19}$ , -NH-S(0)<sub>2</sub>- $R_{19}$ , -S(0)<sub>2</sub>-NH- $R_{19}$  or -NH-S(0)<sub>2</sub>-NH- $R_{19}$  radical, or (2) an alkyl radical
- substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(0)-R_{19}$ ,  $-C(0)-NH-R_{19}$ ,  $-NH-C(0)-NH-R_{19}$ ,  $-O-C(0)-NH-R_{19}$ ,  $-NH-C(0)-O-R_{19}$ ,  $-S(0)_2-C(0)-NH-R_{19}$ ,  $-O-C(0)-NH-R_{19}$ ,  $-O-C(0)-NH-R_{19}$

 $R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

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provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-C(O)-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

wherein  $R_{19}$  is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

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 $\mathbf{R}_{\mathbf{16}}$  and  $\mathbf{R}_{\mathbf{18}}$  are each independently a hydrogen or alkyl radical; and

E is a radical of carboxy, amido, tetrazolyl,  $-C(0)-O-R_{20}$ ,  $-C(0)-NH-R_{20}$ ,  $-C(0)-NH-S(0)-R_{20}$ ,  $-C(0)-NH-S(0)-R_{20}$ , or  $-C(0)-NH-C(0)-R_{20}$ ;

wherein  $R_{20}$  is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

provided that when U represents amidino, guanidino,  $-C(Q)-NH-R_1$  or  $-NH-C(Q)-NH-R_1$  radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

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- 2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein
- 10 each Alk is independently a  $C_1-C_{12}$  alkyl radical;

- 15  $(C_1-C_8 \text{ alkyl}))_k$ -NH-C(Q)-O-R<sub>1</sub> or  $-(G_1-C_8 \text{ alkyl}))_k$ -O-C(Q)-N(R)-R<sub>1</sub> radical; or U represents a hydroxy(C<sub>1</sub>-C<sub>12</sub> alkyl)-G- radical which is optionally substituted by a C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the
- cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

Q represents O, S, NH, N-CN or N-( $C_1$ - $C_8$  alkyl);

25 R is a radical of hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;

 $R_1$  is a radical of  $C_1-C_8$  alkyl, halo( $C_1-C_8$  alkyl) of 1-7 halo radicals,  $R_{21}R_{22}N-(C_1-C_8$  alkyl),  $R_{21}O-(C_1-C_8$  alkyl),  $R_{21}S-(C_1-C_8$  alkyl),  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_8$ 

- alkyl), aryl, aryl( $C_1$ - $C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are
- 35 optionally substituted by 1-3 radicals of  $R_2$ ;

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A-648 178

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1$ - $C_8$  alkyl, halo( $C_1$ - $C_8$  alkyl) of 1-7 halo radicals,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_8$  alkyl), aryl, aryl( $C_1$ - $C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

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each  $R_2$  is independently a halo,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  alkylthio, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino,

- nitro, amino, C<sub>1</sub>-C<sub>8</sub> alkylamino or di(C<sub>1</sub>-C<sub>8</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;
- each  $R_3$  is independently a hydrogen or  $C_1$ - $C_6$  alkyl radical;

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  alkylthio, halo( $C_1-C_4$  alkyl) of 1-5

- halo radicals, halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(0)-0- $R_{19}$ , -C(0)- $R_{19}$ , -C(0)-NH- $R_{19}$ , -C(0)-N( $R_{19}$ )<sub>2</sub>,  $C_3$ - $C_6$  cycloalkyl,  $C_3$ - $C_6$  cycloalkyl( $C_1$ - $C_4$  alkyl), aryl, aryl( $C_1$ - $C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_4$  alkyl)
- of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl ( $C_1$ - $C_4$  alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken
- 35 together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl

of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

 $R_{\scriptscriptstyle 5}$ ,  $R_{\scriptscriptstyle 6}$  and  $R_{\scriptscriptstyle 7}$  are each independently a hydrogen, halo,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  alkylthio, halo( $C_1-C_4$ alkyl) of 1-5 halo radicals, halo( $C_1-C_4$  alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $\boldsymbol{R}_{\scriptscriptstyle{6}}$  and  $\boldsymbol{R}_{\scriptscriptstyle{7}}$  taken together with the carbon atoms to which

10 they are attached represent a fused-phenyl or fusedheteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R2; or R3 and R6 taken together with the carbon atoms to which they are attached represent a

15 fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R2;

 $\rm X_2$  is C-H, C-( $\rm C_1$ - $\rm C_4$  alkyl), a  $\rm C_3$ - $\rm C_8$  spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein 20 the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thiooxo radical and 1-2 radicals of  $C_1$ - $C_6$  alkyl, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, hydroxy,  $C_1-C_6$  alkoxy or halo  $(C_1-C_4)$ alkoxy) of 1-5 halo radicals;

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 $\rm R_{\rm 8}\text{, }\rm R_{\rm 9}\text{, }\rm R_{\rm 10}\text{, }\rm R_{\rm 11}$  and  $\rm R_{\rm 12}$  are each independently a hydrogen or  $C_1-C_6$  alkyl radical; or  $-CR_8R_9-$  represents a -C(0)-;

B represents a radical of formula

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wherein (a)  $R_{15}$  is a hydrogen or  $C_1-C_5$  alkyl radical; and  $R_{17}$  is (1) an aryl, heteroaryl of 5-10 ring members, -

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(b)  $R_{17}$  is a hydrogen or  $C_1-C_6$  alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $C_3-C_8$  cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)- $R_{19}$ , -C(O)-NH- $R_{19}$ , -NH-C(O)-NH- $R_{19}$ , -O-C(O)-NH- $R_{19}$ , -NH-

- C(0)-O-R<sub>19</sub>, -S(0)<sub>2</sub>-R<sub>19</sub>, -NH-S(0)<sub>2</sub>-R<sub>19</sub>, -S(0)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(0)<sub>2</sub>-NH-R<sub>19</sub>, radical, or (2) an  $C_1$ -C<sub>4</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members,  $C_3$ -C<sub>8</sub> cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(0)-R<sub>19</sub>, -C(0)-NH-R<sub>19</sub>, -NH-C(0)-NH-R<sub>19</sub>, -O-
- C(O)-NH- $R_{19}$ , -NH-C(O)-O- $R_{19}$ , -S(O)<sub>2</sub>- $R_{19}$ , -NH-S(O)<sub>2</sub>- $R_{19}$ , -S(O)<sub>2</sub>-NH- $R_{19}$  or -NH-S(O)<sub>2</sub>-NH- $R_{19}$  radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_{2}$ ;
- provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(0)-NH-  $R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,
- 30  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

wherein  $R_{19}$  is a  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_6$  alkyl), aryl, aryl( $C_1-C_6$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_6$  alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl ( $C_1$ - $C_6$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

 $\rm R_{\rm 16}$  and  $\rm R_{\rm 18}$  are each independently a hydrogen or  $\rm C_1-\rm C_6$  alkyl radical; and

- 10  $R_{20}$  is a  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a  $C_1$ - $C_6$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino,  $C_3$ - $C_8$  cycloalkyl, aryl, heteroaryl of 5-10 ring members or
- heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ .
- 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1-C_8$  alkyl radical;

25 V represents a radical of formula

$$W_4$$
 $W_5$ 
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A represents a radical of formula

 $Y_1$  is -C(0) - or -C(S) -.

4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1$ - $C_6$  alkyl radical;

15 V represents a radical of formula

 $X_2$  is C-H or C-(methyl) radical;

 $Y_1$  is -C(0)-; and

- $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or methyl radical; or  $-CR_8R_9$  represents a -C(0)-.
  - 5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1$ - $C_4$  alkyl radical;

U represents amidino, guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$ 

- 15  $C(Q) N(R) R_1$ ,  $-(G (C_1 C_8 \text{ alkyl}))_k NH C(Q) N(R) R_1 \text{ or } -(G (C_1 C_8 \text{ alkyl}))_k NH C(Q) O R_1 \text{ radical}$ ;
  - G represents a bond, O or NH;
- 20 Q represents O, S, NH, N-CN or N-( $C_1$ - $C_4$  alkyl);
  - R is a radical of hydrogen or  $C_1-C_4$  alkyl;
- $R_1$  is a radical of  $C_1$ - $C_6$  alkyl, halo( $C_1$ - $C_6$  alkyl) of 1-5 halo radicals,  $R_{21}R_{22}N$ -( $C_1$ - $C_6$  alkyl),  $R_{21}O$ -( $C_1$ - $C_6$  alkyl),  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_6$  alkyl), aryl, aryl( $C_1$ - $C_6$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_6$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_6$
- 30 alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;
- $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen, 35  $C_1-C_8$  alkyl, aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members or heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkylthio, halo( $C_1$ - $C_2$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_2$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1$ - $C_4$  alkylamino or di( $C_1$ - $C_4$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy,

ethylenedioxy or propylenedioxy radical;

each  $W_6$  is C-H;

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkylthio, halo( $C_1-C_2$  alkyl) of 1-5 halo radicals, halo( $C_1-C_2$  alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy,  $-C(0)-O-R_{19}$ ,  $-C(0)-R_{19}$ , -C

cycloalkyl( $C_1-C_4$  alkyl), aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$  alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and

25 heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

 $R_{20}$  is a  $C_1$ - $C_4$  alkyl, aryl or heteroaryl of 5-10 ring members or a  $C_1$ - $C_4$  alkyl radical substituted by 1-3

radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

- 6. The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein
- U represents amidino, guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C_1$   $R_1$ ,  $-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-NH-C(Q)-N(R)-R_1$  or  $-NH-C(Q)-O-R_1$  radical;
  - Q represents O or NH;
- 10 R is a radical of hydrogen or C,-C, alkyl;

 $R_{\scriptscriptstyle 1}$  is a radical of  $C_{\scriptscriptstyle 1}-C_{\scriptscriptstyle 6}$  alkyl, halo( $C_{\scriptscriptstyle 1}-C_{\scriptscriptstyle 6}$  alkyl) of 1-5 halo radicals,  $R_{\scriptscriptstyle 21}R_{\scriptscriptstyle 22}N-(C_{\scriptscriptstyle 1}-C_{\scriptscriptstyle 4}$  alkyl),  $R_{\scriptscriptstyle 21}O-(C_{\scriptscriptstyle 1}-C_{\scriptscriptstyle 4}$  alkyl),  $C_{\scriptscriptstyle 3}-C_{\scriptscriptstyle 8}$  cycloalkyl,  $C_{\scriptscriptstyle 3}-C_{\scriptscriptstyle 8}$  cycloalkyl( $C_{\scriptscriptstyle 1}-C_{\scriptscriptstyle 4}$  alkyl), aryl,

- aryl( $C_1$ - $C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_4$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are
- 20 optionally substituted by 1-3 radicals of R,;

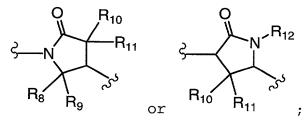
 $\rm R_{21}$  and  $\rm R_{22}$  are each independently a radical of hydrogen,  $\rm C_1-\rm C_6$  alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally

- 25 substituted by 1-3 radicals of R<sub>2</sub>;
  - each  $R_2$  is independently a halo,  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy,  $C_1-C_2$  alkylthio,  $CF_3-$ ,  $CF_3O-$ , hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_2$
- alkylamino or  $di(C_1-C_2 alkyl)$ amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;
- 35 each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $C-R_2$ ;

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkylthio, halo( $C_1-C_2$  alkyl) of 1-5 halo radicals, halo( $C_1-C_2$  alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



(a)  $R_{15}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{17}$  is - NH-C(O)- $R_{19}$ , -NH-C(O)-NH- $R_{19}$ , -NH-C(O)-O- $R_{19}$ , -NH-S(O)<sub>2</sub>- $R_{19}$  or -NH-S(O)<sub>2</sub>-NH- $R_{19}$  radical; or (b)  $R_{17}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $C_3-C_8$  cycloalkyl or heterocyclyl

of 5-8 ring members radical, or (2) an  $C_1$ - $C_2$  alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members,  $C_3$ - $C_8$  cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

20

 $R_{19}$  is a  $C_1-C_4$  alkyl, aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members or heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

25

 $R_{16}$  and  $R_{18}$  are each independently a hydrogen or  $C_1 - C_4$  alkyl radical;

E is a radical of carboxy, amido, tetrazolyl or -C(0)-30  $O-R_{20}$ ; and

 $R_{20}$  is a  $C_1-C_2$  alkyl, aryl or heteroaryl of 5-10 ring members or a  $C_1-C_2$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

Alk is independently a  $C_1$ - $C_2$  alkyl radical;

G represents a bond or NH;

15

5

 $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_6$  alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

20

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy,  $C_1-C_2$  alkylthio,  $CF_3-$ ,  $CF_3O-$ , hydroxy or cyano radical;

25 A represents a radical of formula

(a)  $R_{15}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{17}$  is -NH-C(0)-O-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-R<sub>19</sub> radical; or (b)  $R_{17}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{15}$  is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an  $C_1-C_2$ 

alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

5

- $R_{19}$  is a  $C_1-C_4$  alkyl, aryl or aryl( $C_1-C_4$  alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;
- 10  $R_{16}$  and  $R_{18}$  are each independently a hydrogen or  $C_1-C_2$  alkyl radical;
  - E is a radical of carboxy or  $-C(0)-0-R_{20}$ ; and
- 15  $R_{20}$  is a  $C_1-C_2$  alkyl, aryl or aryl( $C_1-C_2$  alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of  $R_2$ .
- 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.
- 9. A method for the treatment of a disease or 25 disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.
- 10. The method of Claim 9 wherein the integrin 30 receptor is vitronectin receptor  $\alpha_{\nu}\beta_{3}$ ,  $\alpha_{\nu}\beta_{5}$  or  $\alpha_{\nu}\beta_{5}$ .
  - 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

- 12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor  $\alpha_{\nu}\beta_{3}$ ,  $\alpha_{\nu}\beta_{5}$  or  $\alpha_{\nu}\beta_{6}$ .
- 5 13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.
- 14. The method of Claim 13 wherein the an integrin 10 receptor is vitronectin receptor  $\alpha_{\nu}\beta_{3}$ ,  $\alpha_{\nu}\beta_{5}$  or  $\alpha_{\nu}\beta_{6}$ .
  - 15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor  $\alpha_{\nu}\beta_{3}$ ,  $\alpha_{\nu}\beta_{5}$  or  $\alpha_{\nu}\beta_{5}$ .

- 17. A method for the treatment of
  20 atherosclerosis, restenosis, inflammation, wound
  healing, cancer, metastasis, bone resorption related
  diseases, diabetic retinopathy, macular degeneration,
  angiogenesis or viral infections comprising
  administering an effective amount of a compound
  25 according to any of Claims 1 to 7.
- 18. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of Claim 8.